(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



Rec'd PCT/PTO 20 MAY 2005

(43) International Publication Date 3 June 2004 (03.06.2004)

PCT

(10) International Publication Number WO 2004/046124 A1

- (51) International Patent Classification⁷: C07D 265/36, 413/12, 413/14, 417/04, 495/04, A61K 31/538, A61P 25/22, 25/24 // (C07D 471/04, 221:00, 221:00) (C07D 471/04, 221:00, 209:00) (C07D 495/04, 333:00, 239:00)
- (21) International Application Number:

PCT/EP2003/013085

(22) International Filing Date:

20 November 2003 (20.11.2003)

(25) Filing Language:

English

(26) Publication Language:

English

- (30) Priority Data: 0227240.9 21 November 2002 (21.11.2002)
- (71) Applicant (for all designated States except US): GLAXO GROUP LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford, Middlesex UB6 0NN (GB).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BERTANI, Barbara [IT/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT). BORRIELLO, Manuela [IT/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT). BOZZOLI, Andrea [IT/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT). BROMIDGE, Steven, Mark [GB/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT). GRANCI, Enrica [IT/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT). LESLIE, Colin [GB/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT). SERAFINOWSKA, Halina [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB).

STASI, Luigi [IT/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). VONG, Antonio [GB/GB]; GlaxoSmithKline, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB). ZUCCHELLI, Valeria [IT/IT]; GlaxoSmithKline, Via Alessandro Fleming 2, I-I37135 Verona (IT).

- (74) Agent: KONDO, Rie; GlaxoSmithKline, CN925.1, Corporate Intellectual Property, 980 Great West Road, Brentford, Middlesex TW8 9GS (GB).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BENZOXAZINONE DERIVATIVES, PREPARATION THEREOF AND USES IN THE TREATMENT OF CNS AND OTHER DISORDERS

$$A-X \downarrow q \qquad \qquad R3 \qquad \qquad R1 \qquad \qquad N-Z \downarrow q \qquad \qquad (I)$$

(57) Abstract: Compounds of formula (I) and pharmaceutically acceptable salts thereof are disclosed: wherein A, R1, R2, R3, p, q, A and X are as defined in the specification. Preparation of the compounds and uses in the treatment of CNS and other disorders, including depression and anxiety, are also disclosed.